IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicant	:	Rajagopal Bakthavatchalam, Alan Hutchison, Robert W. DeSimone, Kevin J. Hodgetts, James E. Krause, and Geoffrey G. White
Serial No.	:	10/799,286
Filed	:	March 12, 2004
For	:	CAPSAICIN RECEPTOR LIGANDS
Examiner	:	T. Betton
Group	:	1614

DECLARATION OF ALAN J. HUTCHISON UNDER 37 C.F.R. §1.132

I, Alan J. Hutchison, declare as follows:

1. I am Executive Vice President, Discovery Research, of Neurogen Corporation, the assignee of the above-identified application. At Neurogen, I am responsible for the company's drug discovery operations, including its chemistry, biology, and discovery technologies organizations. Prior to joining Neurogen, I was Manager of Neuroscience Chemistry and a Distinguished Research Fellow at Ciba-Geigy. Previously I had served as a research scientist at Pfizer Inc, where I worked on anti-diabetic agents. I hold a B.S. degree from Stevens Institute of Technology and a Ph.D. from Harvard University, both in chemistry. Attached hereto as Exhibit A is copy of my curriculum vitae.

2. I am an inventor of the above-identified application and attended an interview in the United States Patent and Trademark Office on July 31, 2007 to discuss the Office Action for this application dated April 9, 2007.

3. Part of the interview dealt with high throughput screening (HTS), which the Examiners acknowledged was conventional technology routinely used in the drug discovery process to identify compounds that act at a receptor. In this regard, the Examiners suggested that it could be helpful if data was submitted regarding the

percentage of "hits" which such HTS would achieve on a pharmaceutical company's compound library when screening for capsaicin receptor antagonists which are not capsaicin analogues, i.e., the compounds called for by the claims of this application.

4. I understand that simultaneous with the filing of this declaration, an amendment is being filed which specifies that the antagonists called for by the claims of this application "when tested in a human capsaicin receptor calcium mobilization assay employing a calcium sensitive fluorescent dye, produce a decrease of at least 80% compared to a matched control in the fluorescence response generated by capsaicin when: (i) the antagonist concentration is 1 micromolar and (ii) the capsaicin concentration is equal to capsaicin's EC_{50} value for the assay." This language, which is based on Example 11 of this application, describes a high throughput screening criterion that Neurogen has used in screening its library in connection with its capsaicin receptor antagonist project (hereinafter referred to as the "VR1 project"). This criterion will be referred to hereinafter as the "Example 11 Criterion."

5. To date, Neurogen has screened roughly 40% of its library of approximately one million compounds in connection with the VR1 project. The results of this screening are stored in computer archives which for the purposes of this declaration were analyzed by two of Neurogen's informatics specialists to identify compounds that: (1) satisfy the Example 11 Criterion, (2) do not have a phenyl ring with two oxygen atoms bound to two adjacent ring carbons, i.e., the definition of a capsaicin analogue used in this application, and (3) were not developed by Neurogen in connection with the VR1 project.

6. The review of the computer archives revealed that the number of compounds which were not developed in connection with the VR1 project and had been subjected to a human capsaicin receptor calcium mobilization assay at a compound concentration of 1 micromolar was 408,894. Of these, the total number which satisfied the Example 11 Criterion was 3,467 of which 97 were capsaicin analogues, giving a final hit rate of 0.8%, i.e., 100*(3,467–97)/408,894.

7. Based on my experience for more than 30 years in the field of drug discovery, a hit rate of greater than 0.1% is considered reasonable, a rate greater than 0.5% is very good, and a rate of 1% or more is considered excellent by workers in the art. Although the above analysis was performed using Neurogen's compound library, I have worked for various other pharmaceutical companies and am familiar with the nature of their compound libraries. I would thus in general expect at least a reasonable hit rate for those libraries.

8. In summary, in my opinion, as of the original filing date of this application (July 20, 2000), it would been routine to identify capsaicin receptor antagonists which are not capsaicin analogues and which satisfy the Example 11 Criterion by performing HTS of a company's compound library using the calcium mobilization assay of Example 11.

9. I further declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under section 1001 of Title 18 of the United States Code, and that such willful false statements may jeopardize the validity of the application or any patent issuing thereon.

10/9/07
(Date)

Alan J. Hutchison, Ph.D.

Curriculum Vitae

Alan J. Hutchison

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Fax: 203-481-5290
Email: ahutchison@nrgn.com

Employment History:

September 1979-May 1981:	Pfizer Central Research/ Groton, CT	
	1979-1981:	Senior Scientist
May 1981-April 1989:	CIBA-GEIGY Corporation/ Summit, NJ	
	1981-1982:	Senior Scientist
	1982-1983:	Senior Research Scientist
	1984-1988:	Manager of Neuroscience Chemistry
	1988-1989:	Distinguished Research Fellow
April 1989-2006:	Neurogen Corporation/ Branford CT	
	1989-1991:	Director of Chemistry
	1992-1996:	Vice President of Drug Discovery
	1997-2001:	Senior Vice President of Drug Discovery
	2002-2007:	Executive Vice President Discovery Research

Education:

Ph.D.	Organic Chemistry	Harvard University, September 1979 GPA4.0/4.0
	Dissertation Title:	Total Synthesis of dl-Austamide
	Advisor:	Y. Kishi
BS	Chemistry	Stevens Institute of Technology (With High Honors and Thesis) GPA3.8/4.0
	Thesis Title:	Synthesis of Cephalosporin Analogs
	Advisor:	A.K. Bose

Managerial and Scientific Experience:

Pfizer (1979-1981):

Managed a team of three scientists directed towards the identification of a backup candidate to Pfizer's prototype aldose reductase inhibitor for the treatment of diabetes.

Exhibit A

CIBA-GEIGY(1981-1989):

Managed a team of 3 to 15 scientists focused predominately on the discovery of novel CNS agents. During this period the team produced approximately ten clinical candidates in the CNS therapeutic area including the competitive NMDA antagonist selfotol, which reached Phase III clinical trials. Additional candidates were produced in the area of presynaptic dopamine agonists as well as novel antipsychotic and antidepressant agents. Served as project leader for the NMDA antagonist project and the Adenosine agonist project.

Neurogen Corporation (1989-2007):

Initially hired as Director of Medicinal Chemistry in 1989 and was responsible for the creation and management of the medicinal chemistry department at Neurogen, which currently contains about 45 scientists. In 1992 my responsibilities were expanded and as Vice President of Drug Discovery also included the management of computational chemistry and informatics as well as the high throughput pharmacology efforts here at Neurogen. In 2002 as Executive Vice President of Discovery Research, I have assumed overall responsibility for all discovery research at Neurogen. As of June 2006 I have also assumed overall responsibility for all preclinical development activities her at Neurogen.

My research efforts and publications at Neurogen encompass the following areas: GABA modulation, D4 receptor antagonism, NPY1 and NPY5 receptor antagonism, CRF receptor modulation, VR1 receptor modulation, C5a receptor antagonism and MCHR1 receptor antagonisms. In addition I also played a seminal role in the creation of the AIDD technology platform at Neurogen, which integrates High Speed Synthesis, High Throughput Screening, Informatics and Computer Assisted Modeling to improve the overall efficiency of the drug discovery process.

Patents and Publications (Not including publications in press)

Hutchison, Alan J.; Kishi, Yoshito. **The stereospecific synthesis of tetrahydroaustamide.** Tetrahedron Lett. (1978), (6), 539-42. CODEN: TELEAY ISSN:0040-4039. CAN 89:43359 AN 1978:443359 CAPLUS

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Hutchison, Alan Jeffrey. **Benzopyrano- and -thiopyranopyridines.** Eur. Pat. Appl. (1985), 77 pp. CODEN: EPXXDW EP 161218 A2 19851113 CAN 104:109607 AN 1986:109607 CAPLUS

Hutchison, Alan J.; Shaw, Kenneth R.; Schneider, Josef A. **Phosphonic acids and derivatives in the treatment of nervous system disorders.** Eur. Pat. Appl. (1986), 53 pp. CODEN: EPXXDW EP 203891 A2 19861203 CAN 108:112734 AN 1988:112734 CAPLUS

Lehmann, J.; Chapman, A. G.; Meldrum, B. S.; Hutchison, A.; Tsai, C.; Wood, P. L. **CGS 19755 is a potent and competitive antagonist at NMDA-type receptors.** Eur. J. Pharmacol. (1988), 154(1), 89-93. CODEN: EJPHAZ ISSN:0014-2999. CAN 109:163447 AN 1988:563447 CAPLUS

Lehmann, J.; Hutchison, A. J.; McPherson, S. E.; Mondadori, C.; Schmutz, M.; Sinton, C. M.; Tsai, C.; Murphy, D. E.; Steel, D. J.; et al. **CGS 19755, a selective and competitive N-methyl-D-aspartate-type excitatory amino acid receptor antagonist.** J. Pharmacol. Exp. Ther. (1988), 246(1), 65-75. CODEN: JPETAB ISSN:0022-3565. CAN 109:86252 AN 1988:486252 CAPLUS

Hutchison, Alan J.. **Preparation, testing, and formulation of thieno[2,3-b]thiopyran-5-amines as presynaptic dopamine agonists.** Eur. Pat. Appl. (1988), 19 pp. CODEN: EPXXDW EP 280268 A1 19880831 CAN 110:212800 AN 1989:212800 CAPLUS

Hutchison, Alan J.. **Preparation of adenosine-5'-carboxamide derivatives as adenosine-2 receptor agonists, antipsychotics, and antihypertensives and pharmaceutical compositions containing them.** Eur. Pat. Appl. (1988), 17 pp. CODEN: EPXXDW EP 277917 A2 19880810 CAN 110:193332 AN 1989:193332 CAPLUS

Chen, Jen; Hutchison, Alan J.. **N9-Cyclopentyl-substituted adenine derivatives, procedure for their preparation, pharmaceutical compositions containing them, and their use as adenosine receptor agonists.** Eur. Pat. Appl. (1988), 26 pp. CODEN: EPXXDW EP 267878 A1 19880518 CAN 110:75172 AN 1989:75172 CAPLUS

Hutchison, Alan J.. **Preparation of 3-aminodihydro-2H-[1]benzopyrans and -thiopyrans as neurotransmitter agonists.** Eur. Pat. Appl. (1988), 26 pp. CODEN: EPXXDW EP 280269 A1 19880831 CAN 110:38893 AN 1989:38893 CAPLUS

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excitatory amino acid receptor antagonist. JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS (1988 Jul), 246(1), 65-75. Journal code: JP3. ISSN:0022-3565. DN 88274809 PubMed ID 2899170 AN 88274809 MEDLINE

Wood, P. L.; Kim, Helen S.; Boyar, W. C.; Hutchison, A.. **Inhibition of nigrostriatal release of dopamine in the rat by adenosine receptor agonists: A1 receptor mediation.** Neuropharmacology (1989), 28(1), 21-5. CODEN: NEPHBW ISSN:0028-3908. CAN 110:89290 AN 1989:89290 CAPLUS

Wood P L; Kim H S; Boyar W C; Hutchison A **Inhibition of nigrostriatal release of dopamine in the rat by adenosine receptor agonists: A1 receptor mediation.** NEUROPHARMACOLOGY (1989 Jan), 28(1), 21-5. Journal code: NZB. ISSN:0028-3908. DN 89182358 PubMed ID 2538767 AN 89182358 MEDLINE

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Jacobson K A; Pannell L K; Ji X D; Jarvis M F; Williams M; Hutchison A J; Barrington W W; Stiles G L **Agonist derived molecular probes for A2 adenosine receptors.** JOURNAL OF MOLECULAR RECOGNITION (1989 Dec), 2(4), 170-8. Journal code: AO0. ISSN:0952-3499. DN 90275133 PubMed ID 2561548 AN 90275133 MEDLINE

Hutchison A J; de Jesus R; Williams M; Simke J P; Neale R F; Jackson R H; Ambrose F; Barbaz B J; Sills M A **Benzofuro[2,3-c]pyridin-6-ols: synthesis, affinity for opioid-receptor subtypes, and antinociceptive activity.** JOURNAL OF MEDICINAL CHEMISTRY (1989 Sep), 32(9), 2221-6. Journal code: J0F. ISSN:0022-2623. DN 89362353 PubMed ID 2549247 AN 89362353 MEDLINE

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Hutchison, Alan J.; Francis, John E. **Preparation of 2-substituted adenosine derivatives as antihypertensive and antiatherosclerotic agents and pharmaceutical compositions containing them.** Eur. Pat. Appl. (1989), 34 pp. CODEN: EPXXDW EP 323807 A2 19890712 CAN 112:119353 AN 1990:119353 CAPLUS

arvis, Michael F.; Schulz, Rainer; Hutchison, Alan J.; Do, Un Hoi; Sills, Matthew A.; Williams, Michael. **[3H]CGS 21680, a selective A2 adenosine receptor agonist directly labels A2 receptors in rat brain.** J. Pharmacol. Exp. Ther. (1989), 251(3), 888-93. CODEN: JPETAB ISSN:0022-3565. CAN 112:112580 AN 1990:112580 CAPLUS

Glaeser, Bruce S.; Liebman, Jeffrey M.; Sills, Matthew A.; Hutchison, Alan J.; Lovell, Richard A.; Welch, James; Jarvis, Michael F.; Bennett, Debra A.; Williams, Michael. **Biochemical and pharmacological characterization of the putative dopamine autoreceptor agonist benzopyranopyridine CGS 15873A.** Drug Dev. Res. (1989), 18(3), 191-204. CODEN: DDREDK ISSN:0272-4391. CAN 112:30577 AN 1990:30577 CAPLUS

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Barrington W W; Jacobson K A; Hutchison A J; Williams M; Stiles G L **Identification of the A2 adenosine receptor binding subunit by photoaffinity crosslinking.** PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF THE UNITED STATES OF AMERICA (1989 Sep),

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Cronstein, Bruce N.; Daguma, Luli; Nichols, Deborah; Hutchison, Alan J.; Williams, Michael. **The adenosine/neutrophil paradox resolved: human neutrophils possess both A1 and A2 receptors that promote chemotaxis and inhibit O2- generation, respectively.** J. Clin. Invest. (1990), 85(4), 1150-7. CODEN: JCINAO ISSN:0021-9738. CAN 112:233432 AN 1990:233432 CAPLUS

Barrington, W. W.; Jacobson, K. A.; Hutchison, A. J.; Williams, M.; Stiles, G. L. **Identification of the A2 adenosine receptor binding subunit by photoaffinity crosslinking.** Purines Cell. Signaling: Targets New Drugs, [Pap. Conf. Purine Nucleosides Nucleotides Cell Signaling] (1990), Meeting Date 1989, 82-5. CODEN: 56ZDA3 CAN 115:131167 AN 1991:531167 CAPLUS

Hutchison, Alan J.. **Preparation of 2-substituted adenosine-5'-carboxamides as antihypertensive agents.** U.S. (1990), 14 pp. Cont.-in-part of U.S. Ser. No. 193,967, abandoned. CODEN: USXXAM US 4968697 A 19901106 CAN 115:280479 AN 1991:680479 CAPLUS

Hutchison, Alan J.; Williams, Michael; De Jesus, Reynalda; Yokoyama, Rina; Oei, Howard H.; Ghai, Geetha R.; Webb, Randy L.; Zoganas, Harry C.; Stone, George A.; Jarvis, Michael F. **2-(Arylalkylamino)adenosin-5'-uronamides: a new class of highly selective adenosine A2 receptor ligands.** J. Med. Chem. (1990), 33(7), 1919-24. CODEN: JMCMAR ISSN:0022-2623. CAN 113:24426 AN 1990:424426 CAPLUS

Fujimoto, Roger A.; Francis, John E.; Hutchison, Alan J.. **Preparation of ribofurnosylimidazo[4,5-b]pyridine derivatives as cardiovascular agents.** U.S. (1990), 17 pp. Cont.-in-part of U.S. Ser. No. 229,684, abandoned. CODEN: USXXAM US 4977144 A 19901211 CAN 115:256566 AN 1991:656566 CAPLUS

Hutchison, A. J.; Oei, H.; Jarvis, M.; Williams, M.; Webb, R. L. **The design of a series of highly A2 selective adenosine agonists.** Purines Cell. Signaling: Targets New Drugs, [Pap. Conf. Purine Nucleosides Nucleotides Cell Signaling] (1990), Meeting Date 1989, 146-51. CODEN: 56ZDA3 CAN 114:239681 AN 1991:239681 CAPLUS

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Tallman J F; Hutchison A **Molecular biological insights into GABA and benzodiazepine receptor structure.** PROGRESS IN CLINICAL AND BIOLOGICAL RESEARCH (1990), 361 231-55. Journal code: PZ5. ISSN:0361-7742. DN 91149389 PubMed ID 1963220 AN 91149389 MEDLINE

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